

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
20 January 2005 (20.01.2005)

PCT

(10) International Publication Number
WO 2005/005399 A1

(51) International Patent Classification⁷: **C07D 263/20**,
413/06, 413/10, 413/14, C07F 9/09, A61K 31/422, A61P
31/04

(21) International Application Number:
PCT/US2004/020736

(22) International Filing Date: 29 June 2004 (29.06.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/483,905 2 July 2003 (02.07.2003) US
60/546,947 24 February 2004 (24.02.2004) US
60/553,963 18 March 2004 (18.03.2004) US

(71) Applicants (for all designated States except US): **MERCK
& CO., INC.** [US/US]; P.O. Box 2000, Rahway, NJ 07065
(US). **KYORIN PHARMACEUTICAL CO., LTD.**
[JP/JP]; 5, Kanda Surugadai 2-chome, Chiyoda-ku, Tokyo
(JP).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **HAMMOND,
Milton, L.** [US/US]; 50 Stony Brook Road, Branchburg,
NJ 08876 (US). **FUKUDA, Yasumichi** [JP/JP]; 33-2,
Utsukusigaoka 3-chome, Oyama, Tochigi 329-0207 (JP).

(74) Agents: **TOFFENETTI, Judith, L.** et al.; McDermott,
Will & Emery, 600 13th Street, N.W., Washington, DC
20005-3096 (US).

(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,
ZW.

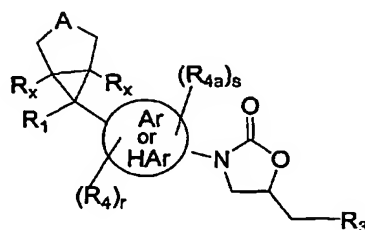
(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,
FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- before the expiration of the time limit for amending the
claims and to be republished in the event of receipt of
amendments

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: OXAZOLIDINONE ANTIBIOTICS AND DERIVATIVES THEREOF



(I)

(57) Abstract: This invention relates to new oxazolidinone antibiotics having a C¹ to C¹⁵ alkyl moiety, which are effective against aerobic and anaerobic pathogenic resistant staphylococci, streptococci and enterococci, Bacteroides species, as well as acid-fast organisms such as *Mycobacterium tuberculosis* and other mycobacterial species. The compounds are represented by structural formula (I): A a R_x (R_{4a})_s R_x x 1 0 R_i Ar or HAr N O A R₃ 15 its enantiomer, diastereomer, or pharmaceutically acceptable salt or ester thereof.

WO 2005/005399 A1